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DOCUMENT IDENTIFIER: US 6248363 B1

TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions

Detailed Description Text (7):

Suitable hydrophobic active ingredients are not limited by therapeutic category, and can be, for example, analgesics, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, anti-bacterial agents, anti-viral agents, anti-coagulants, anti-depressants, anti-diabetics, anti-epileptics, anti-fungal agents, anti-gout agents, anti-hypertensive agents, anti-malarials, anti-migraine agents, anti-muscarinic agents, anti-neoplastic agents, erectile dysfunction improvement agents, immunosuppressants, anti-protozoal agents, anti-thyroid agents, anxiolytic agents, sedatives, hypnotics, neuroleptics, beta-blockers, cardiac inotropic agents, corticosteroids, diuretics, anti-parkinsonian agents, gastro intestinal agents, histamine receptor antagonists, keratolytics, lipid regulating agents, anti-anginal agents, cox-2 inhibitors, leucotriene inhibitors, macrolides, muscle relaxants, nutritional agents, opioid analgesics, protease inhibitors, sex hormones, stimulants, muscle relaxants, anti-osteoporosis agents, anti-obesity agents, cognition enhancers, anti-urinary incontinence agents, nutritional oils, anti-benign prostate hypertrophy agents, essential fatty acids, non-essential fatty acids, and mixtures thereof.

Detailed Description Text (13):

Suitable hydrophilic active ingredients are not limited by therapeutic category, and can be, for example, analgesics, anti-inflammatory agents, anthelmintics, anti-arrhythmic agents, anti-bacterial agents, anti-viral agents, anti-coagulants, anti-depressants, anti-diabetics, anti-epileptics, anti-fungal agents, anti-gout agents, anti-hypertensive agents, anti-malarials, anti-migraine agents, anti-muscarinic agents, anti-neoplastic agents, erectile dysfunction improvement agents, immunosuppressants, anti-protozoal agents, anti-thyroid agents, anxiolytic agents, sedatives, hypnotics, neuroleptics, beta-blockers, cardiac inotropic agents, corticosteroids, diuretics, anti-parkinsonian agents, gastro intestinal agents, histamine receptor antagonists, keratolytics, lipid regulating agents, anti-anginal agents, cox-2 inhibitors, leucotriene inhibitors, macrolides, muscle relaxants, nutritional agents, opioid analgesics, protease inhibitors, sex hormones, stimulants, muscle relaxants, anti-osteoporosis agents, anti-obesity agents, cognition enhancers, anti-urinary incontinence agents, nutritional oils, anti-benign prostate hypertrophy agents, essential fatty acids, non-essential fatty acids, and mixtures thereof.

Detailed Description Text (27):

Although polyethylene glycol (PEG) itself does not function as a surfactant, a variety of PEG-fatty acid esters have useful surfactant properties. Examples of polyethoxylated fatty acid monoester surfactants commercially available are shown in Table 1.

Detailed Description Text (29):

Polyethylene glycol (PEG) fatty acid diesters are also suitable for use as surfactants in the compositions of the present invention. Representative PEG-fatty acid diesters are shown in Table 2.

Detailed Description Text (32):

2.4 Polyethylene Glycol Glycerol Fatty Acid Esters

Detailed Description Text (35):

A large number of surfactants of different degrees of lipophilicity or hydrophilicity can be prepared by reaction of alcohols or polyalcohols with a variety of natural and/or hydrogenated oils. Most commonly, the oils used are castor oil or hydrogenated castor oil, or an edible vegetable oil such as corn oil, olive oil, peanut oil, palm kernel oil, apricot kernel oil, or almond oil. Preferred alcohols include glycerol, propylene glycol, ethylene glycol, polyethylene glycol, sorbitol, and pentaerythritol. Representative surfactants of this class suitable for use in the present invention are shown in Table 5.

Detailed Description Text (46):

2.11. Polyethylene Glycol Sorbitan Fatty Acid Esters

Detailed Description Text (48):

2.12. Polyethylene Glycol Alkyl Ethers

Detailed Description Text (49):

Ethers of polyethylene glycol and alkyl alcohols are suitable surfactants for use in the present invention. Examples of these surfactants are shown in Table 12.

Detailed Description Text (52):

2.14. Polyethylene Glycol Alkyl Phenols

Detailed Description Text (70):

Preferred non-ionic hydrophilic surfactants include alkylglucosides; alkylmaltosides; alkylthioglucoisides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols, derivatives, and analogues thereof; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols with fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; sugar esters, sugar ethers; sucroglycerides; polyethoxylated fat-soluble vitamins or derivatives; and mixtures thereof.

Detailed Description Text (71):

More preferably, the non ionic hydrophilic surfactant is selected from the group consisting of polyoxyethylene alkylethers; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglyceryl fatty acid esters; polyoxyethylene glycerides; polyoxyethylene vegetable oils; and polyoxyethylene hydrogenated vegetable oils. The glyceride can be a monoglyceride, diglyceride, triglyceride, or a mixture.

Detailed Description Text (72):

Also preferred are non-ionic hydrophilic surfactants that are reaction mixtures of polyols and fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils or sterols. These reaction mixtures are largely composed of the transesterification products of the reaction, along with often complex mixtures of other reaction products. The polyol is preferably glycerol, ethylene glycol, polyethylene glycol, sorbitol, propylene glycol, pentaerythritol, or a saccharide.

Detailed Description Text (77):

Preferred lipophilic surfactants are alcohols; polyoxyethylene alkylethers; fatty acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; and polyoxyethylene hydrogenated vegetable oils.

Detailed Description Text (79):

Preferably, the lipophilic surfactant is selected from the group consisting of fatty acids; lower alcohol fatty acid esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lactic acid derivatives of mono/diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; and reaction mixtures of polyols and fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and steroids.

Detailed Description Text (81):

Also preferred are lipophilic surfactants which are the reaction mixture of polyols and fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and steroids. Preferred polyols are polyethylene glycol, sorbitol, propylene glycol, and pentaerythritol.

Detailed Description Text (110):

alcohols and polyols, such as ethanol, isopropanol, butanol, benzyl alcohol, ethylene glycol, propylene glycol, butanediols and isomers thereof, glycerol, pentaerythritol, sorbitol, mannitol, transcitol, dimethyl isosorbide, polyethylene glycol, polypropylene glycol, polyvinylalcohol, hydroxypropyl methylcellulose and other cellulose derivatives, cyclodextrins and cyclodextrin derivatives;

Detailed Description Text (111):

ethers of polyethylene glycols having an average molecular weight of about 200 to about 6000, such as tetrahydrofurfuryl alcohol PEG ether (glycofurol, available commercially from BASF under the trade name Tetraglycol) or methoxy PEG (Union Carbide);

Detailed Description Text (113):

esters, such as ethyl propionate, tributylcitrate, acetyl triethylcitrate, acetyl tributyl citrate, triethylcitrate, ethyl oleate, ethyl caprylate, ethyl butyrate, triacetin, propylene glycol monoacetate, propylene glycol diacetate, epsilon-caprolactone and isomers thereof, delta-valerolactone and isomers thereof, beta. butyrolactone and isomers thereof;

Detailed Description Text (116):

Preferred solubilizers include triacetin, triethylcitrate, ethyl oleate, ethyl caprylate, dimethylacetamide, N methylpyrrolidone, N-hydroxyethylpyrrolidone, polyvinylpyrrolidone, hydroxypropyl methylcellulose, hydroxypropyl cyclodextrins, ethanol, polyethylene glycol 200-600, glycofurol, transcitol, propylene glycol, and dimethyl isosorbide. Particularly preferred solubilizers include sorbitol, glycerol, triacetin, ethyl alcohol, PEG-400, glycofurol and propylene glycol.

Detailed Description Text (133):

anti adherents (anti-sticking agents, glidants, flow promoters, lubricants) such as talc, magnesium stearate, fumed silica (Carbosil, Aerosil), micronized silica (Syloid No. FP 244, Grace U.S.A.), polyethylene glycols, surfactants, waxes, stearic acid, stearic acid salts, stearic acid derivatives, starch, hydrogenated vegetable oils, sodium benzoate, sodium acetate, leucine, PEG-4000 and magnesium lauryl sulfate;

Detailed Description Text (138):

bufferants, where the acid is a pharmaceutically acceptable acid, such as hydrochloric acid, hydrobromic acid, hydriodic acid, sulfuric acid, nitric acid, boric acid, phosphoric acid, acetic acid, acrylic acid, adipic acid, alginic acid, alkanesulfonic acid, amino acids, ascorbic acid, benzoic acid, boric acid, butyric acid, carbonic acid, citric acid, fatty acids, formic acid, fumaric acid, gluconic acid, hydroquinosulfonic acid, isoascorbic acid, lactic acid, maleic acid, methanesulfonic acid, oxalic acid, para-bromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, tannic acid, tartaric acid, thioglycolic acid, toluenesulfonic acid and uric acid, and where the base is a pharmaceutically acceptable base, such as an amino acid, an amino acid ester, ammonium hydroxide, potassium hydroxide, sodium hydroxide, sodium hydrogen carbonate, aluminum hydroxide, calcium carbonate, magnesium hydroxide, magnesium aluminum silicate, synthetic aluminum silicate, synthetic hydrotalcite, magnesium aluminum hydroxide,

diisopropylethylamine, ethanolamine, ethylenediamine, triethanolamine, triethylamine, triisopropanolamine, or a salt of a pharmaceutically acceptable cation and acetic acid, acrylic acid, adipic acid, alginic acid, alkanesulfonic acid, an amino acid, ascorbic acid, benzoic acid, boric acid, butyric acid, carbonic acid, citric acid, a fatty acid, formic acid, fumaric acid, gluconic acid, hydroquinosulfonic acid, isoascorbic acid, lactic acid, maleic acid, methanesulfonic acid, oxalic acid, para-bromophenylsulfonic acid, propionic acid, p-toluenesulfonic acid, salicylic acid, stearic acid, succinic acid, tannic acid, tartaric acid, thioglycolic acid, toluenesulfonic acid, and uric acid;

Detailed Description Text (141):

colorants or opaquants, such as titanium dioxide, food dyes, lakes, natural vegetable colorants, iron oxides, silicates, sulfates, magnesium hydroxide and aluminum hydroxide;

Detailed Description Text (149):

plasticizers, such as polyethylene glycol, citrate esters (e.g., triethyl citrate, acetyl triethyl citrate, acetyltributyl citrate), acetylated monoglycerides, glycerin, triacetin, propylene glycol, phthalate esters (e.g., diethyl phthalate, dibutyl phthalate), castor oil, sorbitol and dibutyl seccate;

Detailed Description Text (154):

Additives can also be materials such as proteins (e.g., collagen, gelatin, Zein, gluten, mussel protein, lipoprotein); carbohydrates (e.g., alginates, carrageenan, cellulose derivatives, pectin, starch, chitosan); gums (e.g., xanthan gum, gum arabic); spermaceti; natural or synthetic waxes; caruaba wax; fatty acids (e.g., stearic acid, hydroxystearic acid); fatty alcohols; sugars; shellacs, such as those based on sugars (e.g., lactose, sucrose, dextrose) or starches; polysaccharide-based shellacs (e.g., maltodextrin and maltodextrin derivatives, dextrates, cyclodextrin and cyclodextrin derivatives); cellulosic based shellacs (e.g., microcrystalline cellulose, sodium carboxymethyl cellulose, hydroxypropylmethyl cellulose, ethyl cellulose, hydroxypropyl cellulose, cellulose acetate, cellulose nitrate, cellulose acetate butyrate, cellulose acetate, trimellitate, carboxymethylethyl cellulose, hydroxypropylmethyl cellulose phthalate); inorganics, such as dicalcium phosphate, hydroxyapatite, tricalcium phosphate, talc and titania; polyols, such as mannitol, xylitol and sorbitol; polyethylene glycol esters; and polymers, such as alginates, poly(lactide coglycolide), gelatin, crosslinked gelatin, and agar-agar.

Detailed Description Text (185):

The coating can, and usually does, contain a plasticizer and possibly other coating excipients such as colorants, talc, and/or magnesium stearate, which are well known in the art. Suitable plasticizers include: triethyl citrate (Citroflex 2), triacetin (glyceryl triacetate), acetyl triethyl citrate (Citroflex A2), Carbowax 400 (polyethylene glycol 400), diethyl phthalate, tributyl citrate, acetylated monoglycerides, glycerol, fatty acid esters, propylene glycol, and dibutyl phthalate. In particular, anionic carboxylic acrylic polymers usually will contain 10-25% by weight of a plasticizer, especially dibutyl phthalate, polyethylene glycol, triethyl citrate and triacetin. Conventional coating techniques such as spray or pan coating are employed to apply coatings. The coating thickness must be sufficient to ensure that the oral dosage form remains intact until the desired site of topical delivery in the lower intestinal tract is reached.

Detailed Description Paragraph Table (12):

TABLE 12 Polyethylene Glycol Alkyl Ethers COMPOUND COMMERCIAL PRODUCT (Supplier) HLB
PEG-2 oleyl ether, oleyl-2 Brij 92/93 (Atlas/ICI) 4.9 PEG-3 oleyl ether, oleyl-3 Volpo 3 (Croda) <10 PEG 5 oleyl ether, oleyl-5 Volpo 5 (Croda) <10 PEG-10 oleyl ether, oleyl-10 Volpo 10 (Croda), Brij 96/97 (Atlas/ICI) 12 PEG-20 oleyl ether, oleyl 20 Volpo 20 (Croda), Brij 98/99 (Atlas/ICI) 15 PEG-4 lauryl ether, laureth-4 Brij 30 (Atlas/ICI) 9.7 PEG 9 lauryl ether >10 PEG-23 lauryl ether, laureth 23 Brij 35 (Atlas/ICI) 17 PEG-2 cetyl ether Brij 52 (ICI) 5.3 PEG 10 cetyl ether Brij 56 (ICI) 13 PEG-20 cetyl ether Brij 58 (ICI) 16 PEG-2 stearyl ether Brij 72 (ICI) 4.9 PEG-10 stearyl ether Brij 76 (ICI) 12 PEG-20 stearyl ether Brij 78 (ICI) 15 PEG-100 stearyl ether Brij 700 (ICI) >10

Detailed Description Paragraph Table (14):

TABLE 14 Polyethylene Glycol Alkyl Phenol Surfactants COMPOUND COMMERCIAL PRODUCT (Supplier) HLB PEG-10-100 nonyl phenol Triton X series (Rohm & Haas), Igepal CA series (GAF, USA), >10 Antarox CA series (GAF, UK) PEG-15 100 octyl phenol ether Triton N series (Rohm & Haas), Igepal CO series (GAF, USA), >10 Antarox CO series (GAF, UK)

CLAIMS:

16. The pharmaceutical composition of claim 15, wherein the non ionic hydrophilic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols, derivatives, and analogues thereof; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; tocopherol polyethylene glycol succinates; sugar esters; sugar ethers; sucroglycerides; and mixtures thereof.

25. The pharmaceutical composition of claim 24, wherein the lipophilic additive is selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar ethers; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

37. The pharmaceutical composition of claim 35, wherein the active ingredient is selected from the group consisting of analgesics, anti-inflammatory agents, anthelmintics, anti arrhythmic agents, anti-bacterial agents, anti viral agents, anti-coagulants, anti-depressants, anti-diabetics, anti-epileptics, anti-fungal agents, anti gout agents, anti-hypertensive agents, anti-malarials, anti migraine agents, anti-muscarinic agents, anti neoplastic agents, erectile dysfunction improvement agents, immunosuppressants, anti-protozoal agents, anti-thyroid agents, anxiolytic agents, sedatives, hypnotics, neuroleptics, .beta.-Blockers, cardiac inotropic agents, corticosteroids, diuretics, anti-parkinsonian agents, gastro-intestinal agents, histamine receptor antagonists, keratolytics, lipid regulating agents, anti-anginal agents, cox-2 inhibitors, leucotriene inhibitors, macrolides, muscle relaxants, nutritional agents, opioid analgesics, protease inhibitors, sex hormones, stimulants, muscle relaxants, anti-osteoporosis agents, anti obesity agents, cognition enhancers, anti-urinary incontinence agents, nutritional oils, anti benign prostate hypertrophy agents, essential fatty acids, non-essential fatty acids, and mixtures thereof.

42. The pharmaceutical composition of claim 41, wherein the non-ionic hydrophilic surfactant is selected from the group consisting of alkylglucosides; alkylmaltosides; alkylthioglucosides; lauryl macrogolglycerides; polyoxyethylene alkyl ethers; polyoxyethylene alkylphenols; polyethylene glycol fatty acids esters; polyethylene glycol glycerol fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; polyglycerol fatty acid esters; polyoxyethylene glycerides; polyoxyethylene sterols, derivatives, and analogues thereof; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; tocopherol polyethylene glycol succinates; sugar esters; sugar ethers; sucroglycerides; and mixtures thereof.

48. The pharmaceutical composition of claim 47, wherein the lipophilic additive is

selected from the group consisting of alcohols; polyoxyethylene alkylethers; fatty acids; bile acids; glycerol fatty acid esters; acetylated glycerol fatty acid esters; lower alcohol fatty acids esters; polyethylene glycol fatty acids esters; polyethylene glyco; glycerol fatty acid esters; polypropylene glycol fatty acid esters; polyoxyethylene glycerides; lactic acid derivatives of mono/diglycerides; propylene glycol diglycerides; sorbitan fatty acid esters; polyoxyethylene sorbitan fatty acid esters; polyoxyethylene-polyoxypropylene block copolymers; transesterified vegetable oils; sterols; sterol derivatives; sugar esters; sugar others; sucroglycerides; polyoxyethylene vegetable oils; polyoxyethylene hydrogenated vegetable oils; reaction mixtures of polyols and at least one member of the group consisting of fatty acids, glycerides, vegetable oils, hydrogenated vegetable oils, and sterols; and mixtures thereof.

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<u>L13</u>	triacetin	3526	<u>L13</u>
<u>L12</u>	polyethylene glycol	125392	<u>L12</u>
<u>L11</u>	silicaS5	473308	<u>L11</u>
<u>L10</u>	COX-2 inhibitorS5	666	<u>L10</u>
<u>L9</u>	L8 not l4	2	<u>L9</u>
<u>L8</u>	l6 and l1	10	<u>L8</u>
<u>L7</u>	l6 same l1	1	<u>L7</u>
<u>L6</u>	glucagon-like peptide-1	232	<u>L6</u>
<u>L5</u>	glucagon like-1 peptide	0	<u>L5</u>
<u>L4</u>	l1 and l2	17	<u>L4</u>
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<u>L2</u>	GLP-1	489	<u>L2</u>
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